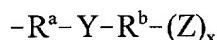


WHAT IS CLAIMED IS:

1. A glycopeptide compound having at least one substituent of the formula:



wherein

5 each R^{a} is independently alkylene, substituted alkylene, alkenylene, substituted
alkenylene, alkynylene, substituted alkynylene, cycloalkylene, substituted
cycloalkylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene,
heterocyclene, $-\text{C}(\text{O})$ -alkylene, substituted $-\text{C}(\text{O})$ -alkylene, $-\text{C}(\text{O})$ -alkenylene,
substituted $-\text{C}(\text{O})$ -alkenylene, $-\text{C}(\text{O})$ -alkynylene, substituted $-\text{C}(\text{O})$ -alkynylene,
10 $-\text{C}(\text{O})$ -cycloalkylene, substituted $-\text{C}(\text{O})$ -cycloalkylene, $-\text{C}(\text{O})$ -cycloalkenylene,
substituted $-\text{C}(\text{O})$ -cycloalkenylene, $-\text{C}(\text{O})$ -arylene, $-\text{C}(\text{O})$ -heteroarylene, or
 $-\text{C}(\text{O})$ -heterocyclene;

each R^{b} is independently a covalent bond, alkylene, substituted alkylene,
alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkylene,
15 substituted cycloalkylene, cycloalkenylene, or substituted cycloalkenylene; provided R^{b}
is not a covalent bond when Z is hydrogen;

each Y is independently selected from the group consisting of oxygen, sulfur,
 $-\text{S}-\text{S}-$, $-\text{S}-\text{C}(=\text{O})-$, $-\text{C}(=\text{O})-\text{S}-$, $-\text{N}\text{R}^{\text{c}}-$, $-\text{S}(\text{O})-$, $-\text{SO}_2-$, $-\text{NR}^{\text{c}}\text{C}(\text{O})-$, $-\text{OSO}_2-$,
 $-\text{OC}(\text{O})-$, $-\text{NR}^{\text{c}}\text{SO}_2-$, $-\text{C}(\text{O})\text{NR}^{\text{c}}-$, $-\text{C}(\text{O})\text{O}-$, $-\text{SO}_2\text{NR}^{\text{c}}-$, $-\text{SO}_2\text{O}-$, $-\text{P}(\text{O})(\text{OR}^{\text{c}})\text{O}-$,
20 $-\text{P}(\text{O})(\text{OR}^{\text{c}})\text{NR}^{\text{c}}-$, $-\text{OP}(\text{O})(\text{OR}^{\text{c}})\text{O}-$, $-\text{OP}(\text{O})(\text{OR}^{\text{c}})\text{NR}^{\text{c}}-$, $-\text{OC}(\text{O})\text{O}-$, $-\text{NR}^{\text{c}}\text{C}(\text{O})\text{O}-$,
 $-\text{NR}^{\text{c}}\text{C}(\text{O})\text{NR}^{\text{c}}-$, $-\text{OC}(\text{O})\text{NR}^{\text{c}}-$, $\text{C}(=\text{O})$, and $-\text{NR}^{\text{c}}\text{SO}_2\text{NR}^{\text{c}}-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl,
heteroaryl and heterocyclic;

each R^{c} is independently selected from the group consisting of hydrogen, alkyl,
25 substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,

substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted

5 cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; and

x is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided that at least one Y is $-S-S-$ or $-S-C(=O)-$; and

provided the glycopeptide is not substituted at the carboxy terminus with a

10 substituent that comprises more than one carboxy group; and

provided the glycopeptide is not substituted at the carboxy terminus with a substituent that comprises one or more saccharide groups and a carboxy group; and

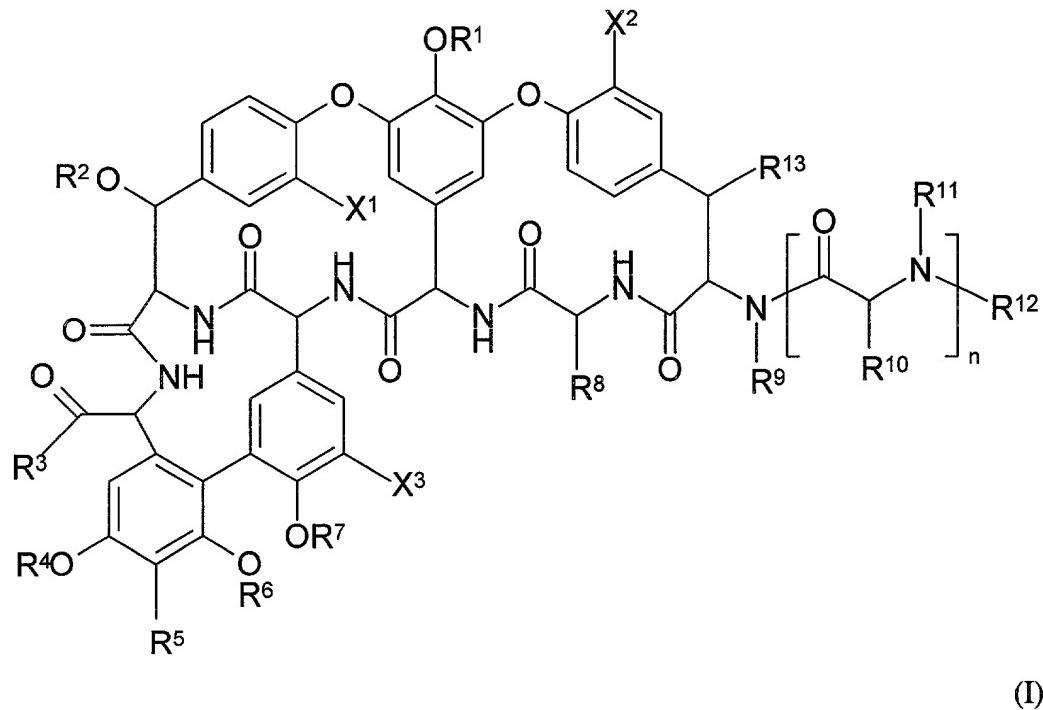
provided the glycopeptide is not substituted on a saccharide nitrogen that corresponds to N^{van} with a substituent that comprises two or more hydroxy groups.

15 2. The glycopeptide of claim 1 wherein each R^a is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene.

3. The glycopeptide of claim 1 wherein each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene,

20 substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen.

4. The glycopeptide of claim 1 which is a compound of formula I:



wherein:

R¹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -R^a-Y-R^b-(Z)_x; or a saccharide group
5 optionally substituted with -R^a-Y-R^b-(Z)_x;

R² is hydrogen or a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x, R^f, -C(O)R^f, or -C(O)-R^a-Y-R^b-(Z)_x;

R³ is -OR^c, -NR^cR^c, -O-R^a-Y-R^b-(Z)_x, -NR^c-R^a-Y-R^b-(Z)_x, -NR^cR^e, or -O-R^e;

10 R⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R^a-Y-R^b-(Z)_x, -C(O)R^d and

a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$,
 $-CH(R^c)-NR^cR^e$, $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$, $-CH(R^c)-R^x$, and

5 $-CH(R^c)-NR^c-R^a-C(=O)-R^x$;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl,
alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and
a saccharide group optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can
be joined, together with the atoms to which they are attached, form a heterocyclic ring
10 optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$:

R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl,
alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl,
alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted
15 cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl,
alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted
cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl,
20 alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted
cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or
 R^8 and R^{10} are joined to form $-Ar^1-O-Ar^2-$, where Ar^1 and Ar^2 are independently
arylene or heteroarylene;

R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl,
25 alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted
cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or

R¹⁰ and R¹¹ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R¹² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -C(O)R^d, -C(NH)R^d, -C(O)NR^cR^c, -C(O)OR^d, -C(NH)NR^cR^c and -R^a-Y-R^b-(Z)_x, or R¹¹ and R¹² are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R¹³ is selected from the group consisting of hydrogen or -OR¹⁴;

10 R¹⁴ is selected from hydrogen, -C(O)R^d and a saccharide group;
each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;
each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;
each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R^d;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

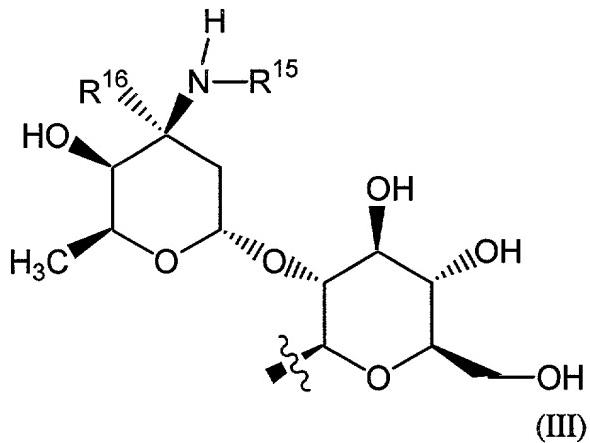
25 R^e is a saccharide group;
each R^f is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

- R^x is a nitrogen-linked amino saccharide or a nitrogen-linked heterocycle;
X¹, X² and X³ are independently selected from hydrogen or chloro;
each Y is independently selected from the group consisting of oxygen, sulfur,
-S-S-, -S-C(=O)-, -C(=O)-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-,
5 -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-,
-P(O)(OR^c)NR^c-, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-, -NR^cC(O)O-,
-NR^cC(O)NR^c-, -OC(O)NR^c-, C(=O), and -NR^cSO₂NR^c;-
each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl,
heteroaryl and heterocyclic;
- 10 n is 0, 1 or 2; and
x is 1 or 2;
or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;
wherein the glycopeptide is substituted with one or more groups wherein Y is
-S-S-, or -S-C(=O)-;
- 15 provided R³ is not a substituent that comprises more than one carboxy group.
5. The glycopeptide of claim 4 wherein R¹ is an amino saccharide group
substituted on the amine with a substituent that comprises one or more disulfide or
thioester bonds.
6. The glycopeptide of claim 4 wherein R¹ is an amino saccharide group
20 substituted on the amine with a group of formula -R^a-W-R^b wherein: W is -S-S- or
-S-C(=O)- and R^b is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl,
substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted
cycloalkenyl, aryl, heteroaryl, or heterocyclic.

7. The glycopeptide of claim 4 wherein R^a is alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, -C(O)-alkylene, substituted -C(O)-alkylene, -C(O)-alkenylene, substituted -C(O)-alkenylene, -C(O)-alkynylene, or substituted -C(O)-alkynylene.

5 8. The glycopeptide of claim 4 wherein R^b is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, or substituted alkynyl.

9. The glycopeptide of claim 4 wherein R¹ is a saccharide group of formula (III):



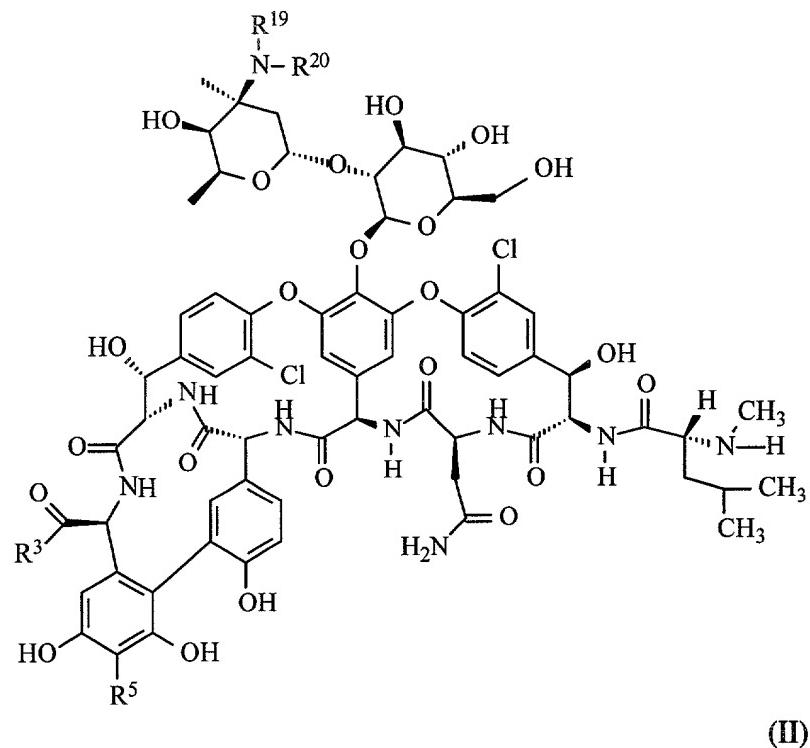
wherein R¹⁵ is -R^a-W-R^b; and R¹⁶ is hydrogen or methyl.

10. The glycopeptide of claim 4 wherein R², R⁴, R⁶, and R⁷ are each hydrogen.

10 11. The glycopeptide of claim 4 wherein R³ is -OH.

12. The glycopeptide of claim 4 wherein R⁵ is hydrogen, -CH₂-NHR^c, -CH₂-NR^cR^c or -CH₂-NH-R^a-Y-R^b-(Z)_x.

13. The glycopeptide of claim 4 which is a compound of formula II:



wherein:

R¹⁹ is hydrogen;

R²⁰ is -R^a-W-R^b;

- 5 R^a is alkylene, substituted alkylene, alkenylene, substituted alkenylene,
alkynylene, substituted alkynylene, cycloalkylene, substituted cycloalkylene,
cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene,
-C(O)-alkylene, substituted -C(O)-alkylene, -C(O)-alkenylene, substituted
-C(O)-alkenylene, -C(O)-alkynylene, substituted -C(O)-alkynylene,
10 -C(O)-cycloalkylene, substituted -C(O)-cycloalkylene, -C(O)-cycloalkenylene,
substituted -C(O)-cycloalkenylene, -C(O)-arylene, -C(O)-heteroarylene, or
-C(O)-heterocyclene;

R^h is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

W is -S-S- or -S-C(=O)- and

5 R³, and R⁵ have the values defined in claim 4;
or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof.

14. The glycopeptide of claim 13 wherein R^a is alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, -C(O)-alkylene, 10 substituted -C(O)-alkylene, -C(O)-alkenylene, substituted -C(O)-alkenylene, -C(O)-alkynylene, or substituted -C(O)-alkynylene; and R^h is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, or substituted alkynyl.

15. The glycopeptide of claim 13 wherein R²⁰ is -(CH₂)₃S-S(CH₂)₇CH₃.

16. A pharmaceutical composition comprising a pharmaceutically acceptable carrier 15 and a therapeutically effective amount of a compound of claim 1.

17. The pharmaceutical composition of claim 16, which comprises a cyclodextrin.

18. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 1

20 19. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 4.

20. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 13.
21. A method of treating a mammal having a bacterial disease, the method
5 comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 16.